

**EP697403**

AN 1996-107262 [12] WPIX

DNC C1996-034036

TI New N-acyl amino acid arylamide cpds. - useful as cholecystokinin CKK-A  
receptor agonists.

DC B05

IN BIGNON, E; BRAS, J; DE COINTET, P; DESPEYROUX, P; FREHEL, D; GULLY, D;  
MAFFRAND, J; DESPKYROUX, P; BINGNON, E; BRAS, J P; MAFFRAND, J PPA (SNFI) SANOFI SA; (SNFI) ELF SANOFI; (SNFI) SANOFI PARTNERSHIP CO; (SNFI)  
SANOFI

CYC 33

PI EP-----697403 A1 19960221 (199612)\* FR 78 &lt;--

R: AT BE CH DE DK ES FR GB GR IE IT LI LU MC NL PT SE

FR-----2723739 A1 19960223 (199615) 65

AU-----9530146 A 19960229 (199616)

NO-----9503260 A 19960220 (199616)

FI-----9503898 A 19960220 (199620)

CA-----2156455 A 19960220 (199624)

ZA-----9506915 A 19960529 (199628) 101

JP-----08119923 A 19960514 (199629) 68

NZ-----272783 A 19970324 (199719)

HU-----72743 T 19960528 (199743)

TW-----312689 A 19970811 (199746)

CN-----1131144 A 19960918 (199801)

US-----5731340 A 19980324 (199819) 43

MX-----9503529 A1 19970601 (199825)

AU-----699581 B 19981210 (199910)

NO-----305075 B1 19990329 (199919)

IL-----114925 A 19991231 (200018)

RU-----2130923 C1 19990527 (200027)

KR-----190672 B1 19990601 (200056)

CA-----2156455 C 20001107 (200061) EN

MX-----194578 B 19991214 (200110)

PH--1199551129 B1 19990806 (200359)

ADT EP-----697403 A1 1995EP-0401912 19950818; FR-----2723739 A1

1994FR-0010165 19940819; AU-----9530146 A 1995AU-0030146 19950818;

NO-----9503260 A 1995NO-0003260 19950818; FI-----9503898 A 1995FI-0003898

19950818; CA-----2156455 A 1995CA-2156455 19950818; ZA-----9506915 A

1995ZA-0006915 19950818; JP-----08119923 A 1995JP-0210481 19950818;

NZ-----272783 A 1995NZ-0272783 19950816; HU-----72743 T 1995HU-0002443

19950818; TW-----312689 A 1995TW-0109830 19950919; CN-----1131144 A

1995CN-0116378 19950818; US-----5731340 A 1995US-0515640 19950816;

MX-----9503529 A1 1995MX-0003529 19950816; AU-----699581 B 1995AU-0030146

19950818; NO-----305075 B1 1995NO-0003260 19950818; IL-----114925 A

1995IL-0114925 19950814; RU-----2130923 C1 1995RU-0113885 19950818;

KR-----190672 B1 1995KR-0025817 19950819; CA-----2156455 C 1995CA-2156455

19950818; MX-----194578 B 1995MX-0003529 19950816; PH--1199551129 B1

1995PH-0051129 19950817

FDT AU-----699581 B Previous Publ. AU-----9530146; NO-----305075 B1 Previous

Publ. NO-----9503260

PRAI 1994FR-0010165 19940819

AN 1996-107262 [12] WPIX

BEST AVAILABLE COPY

AB EP 697403 A UPAB: 19960322

N-Acyl amino acid arylamides of formula  $R'-N(Ar)-CO-CHR''-NH-O-R'''$  (I) and their salts are new:

$R' = 3-8C$  alkyl,  $1-4C$  alkyl,  $(3-10C)$  cycloalkyl  $(1-4C)$ alkyl,  $3-10C$  cycloalkyl,  $(1-4C)$ alkoxy  $(2-5C)$ alkyl, or  $(CH_2)_rCONAB$ ;  $A = 1-3C$  alkyl;  $B = 1-3C$  alkyl or phenyl;  $r = 1-3$ ;  $R'' = H$ ,  $1-6C$  alkyl,  $1-5C$  hydroxyalkyl,  $(CH_2)_mCOR_2$ ,  $(3-10C)$  cycloalkyl $(1-4C)$ alkyl,  $1-4C$  aminoalkyl, guanidino $(1-4C)$ alkyl;  $m = 1-3$ ;  $R_2 = OH$ ,  $1-4C$  alkoxy, benzyloxy;  $R''' =$  naphthyl, quinolyl, isoquinolyl, or indolyl;  $Ar = 2$ -methoxy-3-pyridyl,  $4$ -methoxy-5-pyrimidinyl or  $2$ -methoxyphenyl.

USE - (I) are cholecystokinin (CCK) agonists that act selectively on peripheral CCK-A receptors and are useful for treatment of eating disorders, obesity, diabetes, emotional, sexual and memory disorders, psychoses (esp. schizophrenia), Parkinson's disease, tardive dyskinesia and gastrointestinal disorders.

(I) are formulated as compsns. contg.  $0.5-1000$  mg active ingredient. Daily dose is  $2.5-1000$  mg.

Dwg.0/0

ABEQ US 5731340 A UPAB: 19980512

N-Acyl amino acid arylamides of formula  $R'-N(Ar)-CO-CHR''-NH-O-R'''$  (I) and their salts are new:

$R' = 3-8C$  alkyl,  $1-4C$  alkyl,  $(3-10C)$  cycloalkyl  $(1-4C)$ alkyl,  $3-10C$  cycloalkyl,  $(1-4C)$ alkoxy  $(2-5C)$ alkyl, or  $(CH_2)_rCONAB$ ;  $A = 1-3C$  alkyl;  $B = 1-3C$  alkyl or phenyl;  $r = 1-3$ ;  $R'' = H$ ,  $1-6C$  alkyl,  $1-5C$  hydroxyalkyl,  $(CH_2)_mCOR_2$ ,  $(3-10C)$  cycloalkyl $(1-4C)$ alkyl,  $1-4C$  aminoalkyl, guanidino $(1-4C)$ alkyl;  $m = 1-3$ ;  $R_2 = OH$ ,  $1-4C$  alkoxy, benzyloxy;  $R''' =$  naphthyl, quinolyl, isoquinolyl, or indolyl;  $Ar = 2$ -methoxy-3-pyridyl,  $4$ -methoxy-5-pyrimidinyl or  $2$ -methoxyphenyl.

USE - (I) are cholecystokinin (CCK) agonists that act selectively on peripheral CCK-A receptors and are useful for treatment of eating disorders, obesity, diabetes, emotional, sexual and memory disorders, psychoses (esp. schizophrenia), Parkinson's disease, tardive dyskinesia and gastrointestinal disorders.

(I) are formulated as compsns. contg.  $0.5-1000$  mg active ingredient. Daily dose is  $2.5-1000$  mg.

BEST AVAILABLE COPY